STN STRUCTURE SEARCH
7.9.04

10/031,382

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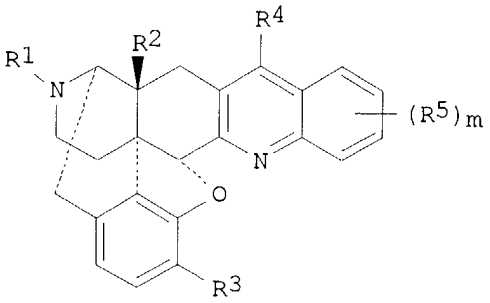
L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:64001 CAPLUS
DOCUMENT NUMBER: 134:116111
TITLE: Preparation of quinolinomorphinan derivatives for treatment of **frequent urination** or **urinary incontinence**
INVENTOR(S): Tanaka, Toshiaki; Nagase, Hiroshi; Endoh, Takashi; Kawamura, Kuniaki; Fujimura, Morihiro; Komagata, Toshikazu
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005795	A1	20010125	WO 2000-JP4839	20000719
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1203771	A1	20020508	EP 2000-946400	20000719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: JP 1999-204809 A 19990719
WO 2000-JP4839 W 20000719
OTHER SOURCE(S): MARPAT 134:116111
GI



I

AB Title compds. I (R1 = H, alkyl, cycloalkyl, aryl, aralkyl, etc.; R2, R3 = H, OH, alkanoyloxy, aralkyloxy, arylcarbonyloxy; R4 = H, alkyl, amino, etc.; R5 = substituent for the benzene ring; m = 0-4) and their pharmacol. acceptable acid addition salts, useful for treatment of **frequent urination** or **urinary incontinence**, are prepared Thus, stirring 17-methyl-6,7-dehydro-4,5α-epoxy-3,14β-dihydroxy-6,7,2',3'-quinolinomorphinan with

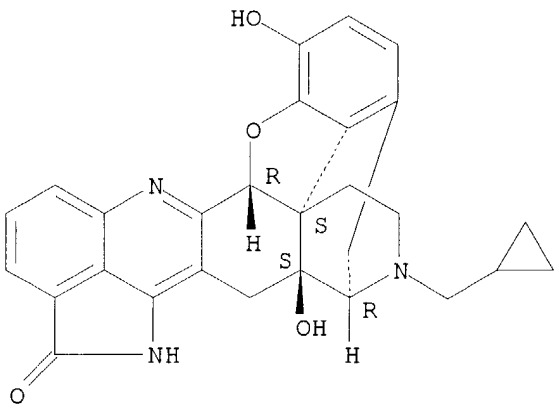
Ac2O and pyridine at room temperature for 16 h gave, after salt formation, 17-methyl-6,7-dehydro-4,5α-epoxy-3,14β-diacetoxy-6,7,2',3'-quinolinomorphinan tartrate (II). II inhibited bladder contraction at 0.3 mg/kg i.v. in rats.

IT **214043-73-9P 214043-90-0P 321187-67-1P**
321187-69-3P 321187-71-7P 321187-73-9P
321187-75-1P 321187-77-3P 321187-79-5P
321187-81-9P 321187-83-1P 321187-85-3P
321187-88-6P 321187-91-1P 321187-93-3P
321187-95-5P 321187-97-7P 321187-99-9P
321188-01-6P 321188-02-7P 321188-04-9P
321188-06-1P 321188-08-3P 321308-61-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinomorphinan derivs. for treatment of **frequent urination** or **urinary incontinence**)

RN 214043-73-9 CAPLUS

CN 4,8-Methano-5H-benzofuro[3,2-c]pyrido[3,4-b]pyrrolo[4,3,2-kl]acridin-11(10H)-one, 7-(cyclopropylmethyl)-6,7,8,8a,9,15b-hexahydro-1,8a-dihydroxy-, monohydrochloride, (4bS,8R,8aS,15bR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

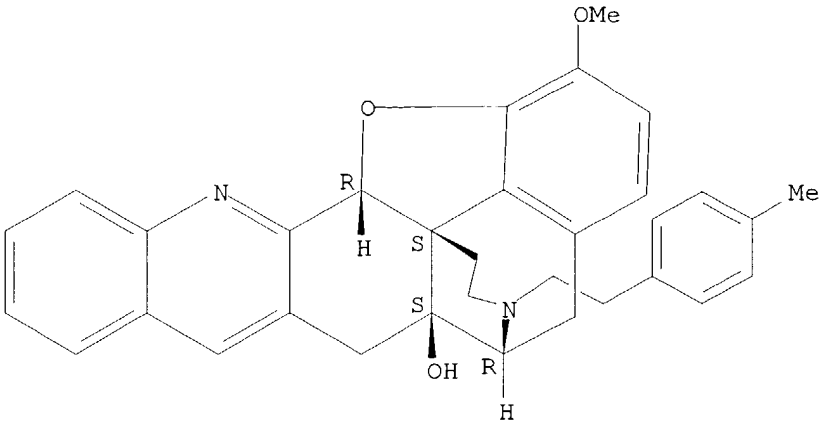
RN 214043-90-0 CAPLUS

CN 4,8-Methano-8aH-benzofuro[3,2-c]pyrido[3,4-b]acridine-1,8a-diol, 10-amino-5,6,7,8,9,15b-hexahydro-7-methyl-, (4bS,8R,8aS,15bR)-, dimethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 214043-89-7
CMF C24 H23 N3 O3

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 09:19:42 ON 09 JUL 2004)

FILE 'REGISTRY' ENTERED AT 09:20:09 ON 09 JUL 2004
L1 STRUCTURE UPLOADED
L2 6 S L1
L3 154 S L1 FULL

FILE 'CAPLUS' ENTERED AT 09:20:44 ON 09 JUL 2004
L4 9 S L3
L5 940 S FREQUENT URINATION OR URINARY INCONTINENCE
L6 1 S L4 AND L5

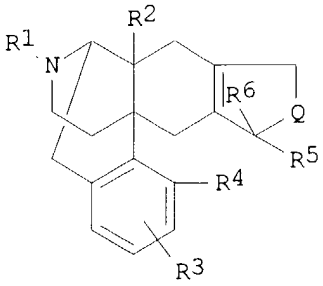
=> d ibib abs l4 1-9

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60515 CAPLUS
DOCUMENT NUMBER: 140:105310
TITLE: Therapeutic or preventive agent for nausea/vomiting
INVENTOR(S): Kawai, Koji; Saito, Akiyoshi; Suzuki, Tomohiko; Hasebe, Ko; Suzuki, Tsutomu
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007503	A1	20040122	WO 2003-JP8751	20030710

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: JP 2002-202657 A 20020711
OTHER SOURCE(S): MARPAT 140:105310
GI



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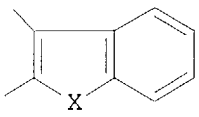

II

AB A therapeutic or preventive agent for nausea/vomiting which contains as an active ingredient either a morphinane derivative represented by the general formula (I): (wherein R1 represents cyclopropylmethyl, etc.; R2 and R3 each represents hydroxy, methoxy, etc.; R4 and R5 are bonded to each other to form -O-, etc.; R6 represents hydrogen, etc.; and Q represents (II) which has been optionally substituted, etc., provided that X represents NH, NMe, etc.) or a pharmacol. acceptable acid addition salt thereof. The compound or salt is useful in a medicine widely applicable to vomiting caused by drugs having emetic activity, especially in a therapeutic or preventive agent for nausea/vomiting induced by μ agonists represented by morphine.

REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Inventors?

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:64001 CAPLUS
DOCUMENT NUMBER: 134:116111
TITLE: Preparation of quinolinomorphinan derivatives for treatment of frequent urination or urinary incontinence
INVENTOR(S): Tanaka, Toshiaki; Nagase, Hiroshi; Endoh, Takashi; Kawamura, Kuniaki; Fujimura, Morihiro; Komagata, Toshikazu
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005795	A1	20010125	WO 2000-JP4839	20000719

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1203771 A1 20020508 EP 2000-946400 20000719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPLN. INFO.: JP 1999-204809 A 19990719
WO 2000-JP4839 W 20000719

OTHER SOURCE(S): MARPAT 134:116111

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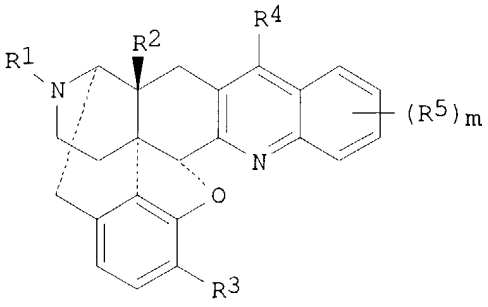


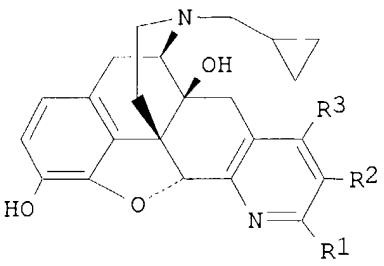
I

AB Title compds. I (R1 = H, alkyl, cycloalkyl, aryl, aralkyl, etc.; R2, R3 = H, OH, alkanoyloxy, aralkyloxy, arylcarbonyloxy; R4 = H, alkyl, amino, etc.; R5 = substituent for the benzene ring; m = 0-4) and their pharmacol. acceptable acid addition salts, useful for treatment of frequent urination or urinary incontinence, are prepared Thus, stirring 17-methyl-6,7-dehydro-4,5α-epoxy-3,14β-dihydroxy-6,7,2',3'-quinolinomorphinan with Ac2O and pyridine at room temperature for 16 h gave, after salt formation, 17-methyl-6,7-dehydro-4,5α-epoxy-3,14β-diacetoxy-6,7,2',3'-quinolinomorphinan tartrate (II). II inhibited bladder contraction at 0.3 mg/kg i.v. in rats.

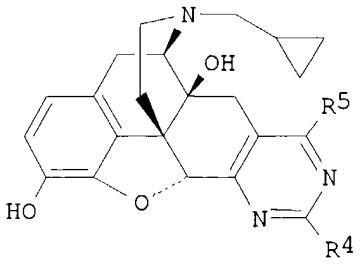
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:521108 CAPLUS
DOCUMENT NUMBER: 131:322799
TITLE: Synthesis, Opioid Receptor Binding, and Biological Activities of Naltrexone-Derived Pyrido- and Pyrimidomorphinans
AUTHOR(S): Ananthan, Subramaniam; Kezar, Hollis S., III; Carter, Ronald L.; Saini, Surendra K.; Rice, Kenner C.; Wells, Jennifer L.; Davis, Peg; Xu, Heng; Dersch, Christina M.; Bilsky, Edward J.; Porreca, Frank; Rothman, Richard B.
CORPORATE SOURCE: Organic Chemistry Department, Southern Research Institute, Birmingham, AL, 35255, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(18), 3527-3538
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II

AB A series of pyrido- and pyrimidomorphinans, e. g. I (R1 - R3 = H; R1 = Ph, R2 = R3 = H; R1 = R3 = H, R2 = Ph; R1 = R3 = H, R2 = C6H4Cl-4; R1 = H, Me, Ph, R2 = H, R3 = Ph; R1R2 = CH:CHCH:CH, R3 = Ph) and II (R4 = R5 = H; R4 = Me, Ph, R5 = H; R4 = H, Me, CH2Ph, Ph, R5 = Ph), resp., were synthesized from naltrexone and evaluated for binding and biol. activity at the opioid receptors. The unsubstituted pyridine I (R1 - R3 = H) displayed high affinities at opioid δ, μ, and κ receptors with Ki values of 0.78, 1.5, and 8.8 nM, resp. Compound I (R1 - R3 = H) was devoid of agonist activity in the mouse vas deferens (MVD) and guinea pig ileum (GPI) prepns. but was found to display moderate to weak antagonist activity in the MVD and GPI with Ke values of 37 and 164 nM, resp. The pyrimidomorphinans in general displayed lower binding potencies and δ receptor binding selectivities than their pyridine counterparts. Incorporation of aryl groups as putative δ address mimics on the pyrido- and pyrimidomorphinan framework gave ligands with significant differences in binding affinity and intrinsic activity. Attachment of a Ph group at the 4'-position of I (R1 - R3 = H) or the equivalent 6'-position of II (R4 = R5 = H) led to dramatic reduction in binding potencies at all the three opioid receptors, indicating the existence of a somewhat similar steric constraint at the ligand binding sites of δ, μ, and κ receptors. In contrast, the introduction of a Ph group at the 5'-position of I (R1 - R3 = H) did not cause any reduction in the binding affinity at the δ receptor. In comparison to the unsubstituted pyridine I (R1 - R3 = H), the 5'-phenylpyridine I (R1 = R3 = H, R2 = Ph) showed improvements in μ/δ and κ/δ binding selectivity ratios as well as in the δ antagonist potency in the MVD. Interestingly, introduction of a chlorine atom at the para position of the pendant 5'-Ph group of I (R1 = R3 = H, R2 = Ph) not only provided further improvements in δ antagonist potency in the MVD but also shifted the intrinsic activity profile of I (R1 = R3 = H, R2 = Ph) from an antagonist to that of a μ agonist in the GPI. Compound I (R1 = R3 = H, R2 = C6H4Cl-4) thus possesses the characteristics of a nonpeptide μ agonist/δ antagonist ligand with high affinity at the δ receptor (Ki = 2.2 nM), high antagonist potency in the MVD (Ke = 0.66 nM), and moderate agonist potency in the GPI (IC50 = 163 nM). Antinociceptive evaluations in mice showed that intracerebroventricular (icv) injections of I (R1 = R3 = H, R2 = C6H4Cl-4) produced a partial agonist effect in the 55 °C tail-flick assay and a full agonist effect in the acetic acid writhing assay (A50 = 7.5 nmol). No signs of overt toxicity were observed with this compound in the dose ranges tested. Moreover, repeated icv injections of an A90 dose did not induce any significant development of

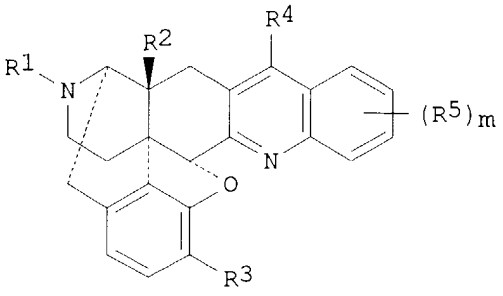
antinociceptive tolerance in the acetic acid writhing assay. The potent δ antagonist component of this mixed μ agonist/δ antagonist may be responsible for the diminished propensity to produce tolerance that this compound displays.

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:682250 CAPLUS
DOCUMENT NUMBER: 129:276082
TITLE: Preparation of quinolinomorphinane derivatives for treatment and prevention of brain disorders
INVENTOR(S): Nagase, Hiroshi; Imamura, Yoshifumi; Ohno, Hiroshi; Kaneeda, Masanobu; Matsuda, Susumu; Miyauchi, Yasushi
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9843977	A1	19981008	WO 1998-JP1443	19980330
W: AU, CA, CN, JP, KR, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2256336	AA	19981008	CA 1998-2256336	19980330
AU 9865201	A1	19981022	AU 1998-65201	19980330
EP 911334	A1	19990428	EP 1998-911102	19980330
R: CH, DE, FR, GB, IT, LI, NL, SE				
TW 519543	B	20030201	TW 1998-87104743	19980330
US 6172078	B1	20010109	US 1999-194538	19990113

PRIORITY APPLN. INFO.: JP 1997-81756 A 19970331
WO 1998-JP1443 W 19980330
OTHER SOURCE(S): MARPAT 129:276082
GI



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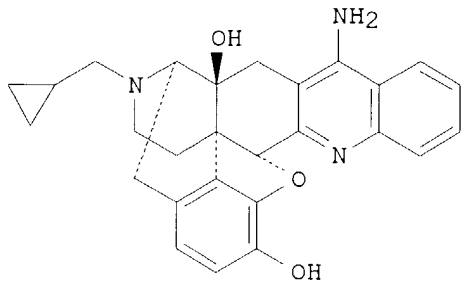


II

AB Quinolinomorphinane derivs. [I; R1 = cyclopropylmethyl, etc.; R2 and R3 represent each OH, MeO, etc.; R4 = H, Me, NH2, etc.; and (R5)m = H, substituted benzo, etc.; m = 0-4 integer] or their pharmacol. acceptable acid addition salts, useful for treating and preventing brain disorders, are prepared Because of having excellent preventive effects on cranial nerve cell disorders, these compds. inhibit various ischemic, hemorrhagic or traumatic brain disorders and cranial nerve cell disorders caused by various nerve degeneration and are thus useful as drugs for treating and preventing various brain diseases such as cerebral stroke, traumatic brain diseases, brain edema and cranial nerve degeneration diseases, ameliorating the after troubles of these diseases and preventing the recurrence of the same. Thus, 17-cyclopropylmethyl-6-oxo-4,5α-epoxy-3,14β-dihydroxymorphinan was refluxed with o-aminobenzonitrile in AcOH for 25 to give, after treatment with aqueous NaHCO3, 37% the title compound
II, isolated as the hydrochloride salt. This at 0.3 mg/Kg i.p. inhibited brain artery occlusion by 56%.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

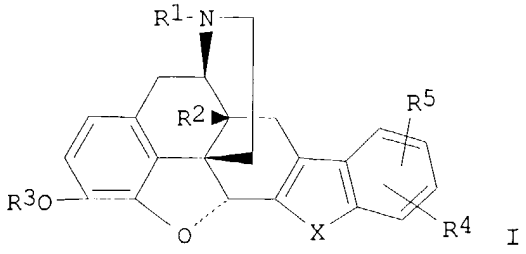
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:774802 CAPLUS
DOCUMENT NUMBER: 123:160834
TITLE: Treatment of immunoregulatory disorders with selective δ opioid receptor antagonists
INVENTOR(S): Portoghese, Philip S.; Sharp, Burt M.; Linner, Kristin M.
PATENT ASSIGNEE(S): Regents of the University of Minnesota, USA; Minneapolis Medical Research Foundation
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9513071	A2	19950518	WO 1994-US12844	19941108
WO 9513071	A3	19950608		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5464841	A	19951107	US 1993-149039	19931108
CA 2176013	AA	19950518	CA 1994-2176013	19941108
AU 9511731	A1	19950529	AU 1995-11731	19941108
EP 727999	A1	19960828	EP 1995-902471	19941108
R: DE, FR, GB				
JP 09505052	T2	19970520	JP 1994-513938	19941108
US 5631263	A	19970520	US 1995-450010	19950525

PRIORITY APPLN. INFO.: US 1993-149039 19931108
WO 1994-US12844 19941108

OTHER SOURCE(S): MARPAT 123:160834
GI



I

AB A therapeutic method is provided to elevate a depressed mammalian autologous mixed lymphocyte response (AMLR) and to alleviate the disease associated therewith by the administration of an effective amount of certain selective δ opioid receptor antagonists to a mammal, e.g. a human patient, in need of such treatment. Compds. I [R1 = C1-5 alkyl, C3-6 (cycloalkyl)alkyl, C5-7 (cycloalkenyl)alkyl, aryl, aralkyl, trans (C4-5) alkenyl, allyl, furan-2-ylalkyl; R2 = H, OH, O2C(C1-5)alkyl; R3 = H, C1-5 alkyl, (C1-5 alkyl)CO; R4, R5 = H, F, Cl, Br, NCS, NO2, NH2, C1-5 alkyl, C1-5 alkoxy, or together are benzo; X = O, S, NY (Y = H, C1-5 alkyl, (R4)(R5)benzo)], and pharmaceutically acceptable salts thereof, are disclosed for preparation of a medicament to elevate the AMLR of a mammal afflicted with a disease characterized by a depressed AMLR; the disease may be a viral infection, rheumatoid arthritis, chronic lymphocytic leukemia, etc. Preparation of 7-benzylidene-7-dehydronaltrexone and related compds. is described, as are e.g. evaluation of antagonist activity and the effect of naltrindole on depressed AMLR in murine cytomegalovirus infection.

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:595925 CAPLUS
DOCUMENT NUMBER: 121:195925
TITLE: Antitussive δ-opioid antagonists
INVENTOR(S): Nagase, Hiroshi; Kamei, Junzo; Kaway, Koji; Endo, Takashi
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414445	A1	19940707	WO 1993-JP1855	19931222
W: AU, CA, FI, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9457156	A1	19940719	AU 1994-57156	19931222
AU 674379	B2	19961219		
EP 636371	A1	19950201	EP 1994-903039	19931222
EP 636371	B1	19980520		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1099617	A	19950308	CN 1993-119935	19931222
CN 1071117	B	20010919		
AT 166229	E	19980615	AT 1994-903039	19931222
NO 9403070	A	19941021	NO 1994-3070	19940819
US 5714483	A	19980203	US 1994-290837	19941013

PRIORITY APPLN. INFO.: JP 1992-341947 A 19921222

WO 1993-JP1855 W 19931222

OTHER SOURCE(S): MARPAT 121:195925

AB An antitussive comprises a δ-opioid antagonist (preparation given) or a pharmacol. acceptable salt thereof as active ingredient. It has a potent activity, does not give side effects such as impaired mentation, and can be administered perorally.

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

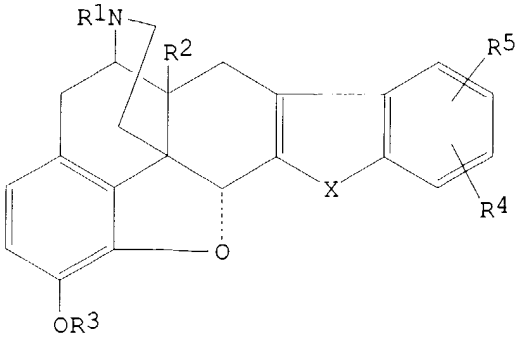
ACCESSION NUMBER: 1991:574658 CAPLUS
DOCUMENT NUMBER: 115:174658
TITLE: Immunosuppressant and process for preparing the same
INVENTOR(S): Nagase, Hiroshi; Kawai, Koji; Matsumoto, Shu; Endoh, Takashi; Katsura, Yoshiaki; Arakawa, Kohei
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9107966	A1	19910613	WO 1990-JP1541	19901128
W: AU, CA, FI, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
JP 03223288	A2	19911002	JP 1990-327453	19901127
JP 2906654	B2	19990621		
CA 2045481	AA	19910529	CA 1990-2045481	19901128
CA 2045481	C	19951114		
AU 9168768	A1	19910626	AU 1991-68768	19901128
AU 639053	B2	19930715		
EP 456833	A1	19911121	EP 1990-917694	19901128
EP 456833	B1	19950301		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2069100	T3	19950501	ES 1990-917694	19901128
NO 9102940	A	19910729	NO 1991-2940	19910729
US 5332818	A	19940726	US 1993-34669	19930322

PRIORITY APPLN. INFO.:
JP 1989-308491 19891128
JP 1989-322160 19891211
JP 1989-326941 19891215
WO 1990-JP1541 19901128
US 1991-721639 19910726

OTHER SOURCE(S): MARPAT 115:174658

GI



I

AB Immunosuppressant activities are shown by δ-opioid antagonists I [R1 = C1-5 alkyl, C3-6 cycloalkylalkyl, C5-7 cycloalkenylalkyl, etc.; R2 = H, OH, C1-5 alkanoyloxy; R3 = H, C1-5 alkyl, C1-5 alkanoyl; X = O, S, YN (Y = H, C1-5 alkyl); R4, R5 = H, F, Cl, Br, NH2, NO2, etc.]. Thus, naloxone-HCl and phenylhydrazine were dissolved in EtOH and treated with methanesulfonate to give a naloxyindolemethanesulfonate salt. The inhibitory activities of 24 I compds. on the growth and differentiation of mouse spleen cells in vitro were demonstrated.

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:220759 CAPLUS
DOCUMENT NUMBER: 114:220759
TITLE: Role of spacer and address components in peptidomimetic δ-opioid receptor antagonists related to naltrindole
AUTHOR(S): Portoghese, P. S.; Nagase, H.; MaloneyHuss, K. E.; Lin, C. E.; Takemori, A. E.
CORPORATE SOURCE: Coll. Pharm., Univ. Minnesota, Minneapolis, MN, 55455, USA
SOURCE: Journal of Medicinal Chemistry (1991), 34(5), 1715-20
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

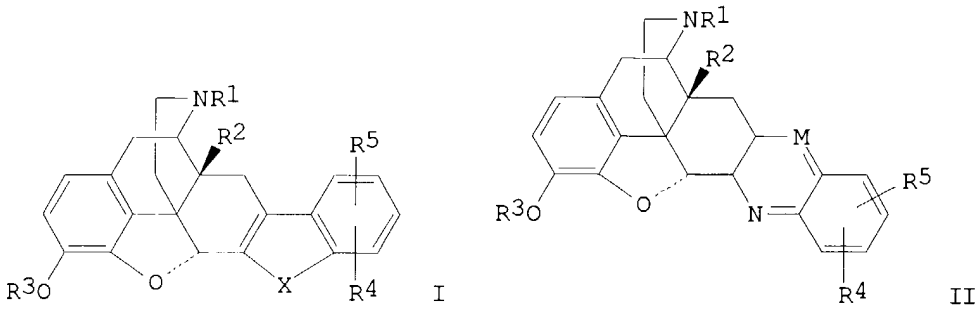
AB A series of naltrindole (I) heterocyclic analogs (II,III,IV,V) and 6-arylnaltrexone derivs. (VI,VII,VIII) were prepared to determine the role of the spacer and the address moieties in conferring δ opioid receptor antagonist activity. II, III and IV were δ-selective opioid antagonists in vitro and bound selectively to δ receptors. The tetrahydroindole derivative (V), while δ selective, was considerably less potent than its indole analog (IX). The data for II-IV indicate that heterocycles other than pyrrole can serve as a spacer for the δ address moiety. Moreover, the lower δ antagonist potency of V illustrates the importance of the aromatic address component. Mol. dynamics simulations of enkephalin using a zipper binding model are consistent with a δ address subsite that may accommodate the benzene moiety of I or the Phe4 Ph group of leucine-enkephalin. The considerably lower δ opioid receptor antagonist potencies of VI-VIII are consistent with the conformational mobility of the aryl group and its location in the mol.

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:515661 CAPLUS
DOCUMENT NUMBER: 111:115661
TITLE: Preparation and testing of benzopyrazinoepoxymorphinans and related compounds as δ-opioid receptor antagonists
INVENTOR(S): Portoghese, Philip S.
PATENT ASSIGNEE(S): University of Minnesota, USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8900995	A1	19890209	WO 1988-US2322	19880711
W: DK, FI, JP, KR, NO				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4816586	A	19890328	US 1987-79216	19870729

PRIORITY APPLN. INFO.: US 1987-79216 19870729
OTHER SOURCE(S): CASREACT 111:115661; MARPAT 111:115661
GI



AB The title compds. (I and II; R1 = C1-5 alkyl, C3-6 cycloalkylalky, C5-7 cycloalkenylalkyl, aryl, aralkyl, C4-5 trans-alkenyl, allyl, 2-furanylalkyl; R2 = H, OH, carboxyalkyl; R3 = H, C1-5 alkyl, alkanoyl; R4, R5 = H, F, Cl,Br, NH2, NO2, C1-5 alkyl, alkoxy; R4R5 = CH:CHCH:CH; M = N, CH; X = O, S, NH), useful as δ-opioid receptor antagonists, were prepared Naltrexone-HCl and PhNHNH2.HCl were refluxed 4 h in HCl-saturated MeOH to give 71% I (R1 = cyclopropylmethyl, R2 = OH, R3-R5 = H, X = NH) (III). III antagonized [D-Ala2, D-Leu5]-enkephalin in mouse vas deferens with a Ke of 0.22, but showed Ke of 29.4 for antagonism of morphine and 45.5 for antagonism of ethylketazocine in guinea pig ileum prepns. III is more potent than ICI 174864 and more selective than M8008.

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(FILE 'HOME' ENTERED AT 09:19:42 ON 09 JUL 2004)

FILE 'REGISTRY' ENTERED AT 09:20:09 ON 09 JUL 2004
L1 STRUCTURE UPLOADED
L2 6 S L1
L3 154 S L1 FULL

FILE 'CAPLUS' ENTERED AT 09:20:44 ON 09 JUL 2004
L4 9 S L3
L5 940 S FREQUENT URINATION OR URINARY INCONTINENCE
L6 1 S L4 AND L5

=> d l1
L1 HAS NO ANSWERS
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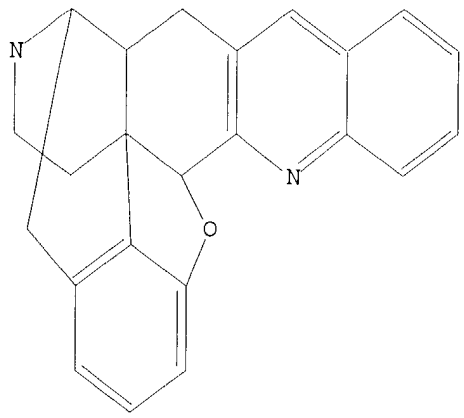

Structure attributes must be viewed using STN Express query preparation.

=>

PALM INTRANET

Day : Friday
Date: 7/9/2004
Time: 08:32:27

Inventor Name Search Result

Your Search was:

Last Name = TANAKA
First Name = TOSHIAKI

Application#	Patent#	Status	Date Filed	Title	Inventor Name 51
60378955	Not Issued	159	05/10/2002	NOVEL GENES RELATING TO PAIN AND USE OF THE GENES FOR PHARMACEUTICALS	TANAKA, TOSHIAKI
29164915	D475726	150	08/02/2002	ENGINE DRIVEN WELDING MACHINE	TANAKA, TOSHIAKI
10455383	6681566	150	06/06/2003	EXHAUST PURIFYING METHOD AND APPARATUS OF AN INTERNAL COMBUSTION ENGINE	TANAKA, TOSHIAKI
10452636	Not Issued	030	06/03/2003	EXHAUST GAS PURIFYING METHOD, EXHAUST GAS PURIFYING APPARATUS, AND EXHAUST GAS COLLECTING APPARATUS OF INTERNAL COMBUSTION ENGINE	TANAKA, TOSHIAKI
10434156	Not Issued	030	05/09/2003	NOVEL GENES RELATING TO PAIN AND USE OF THE GENES FOR PHARMACEUTICALS	TANAKA, TOSHIAKI
10410386	Not Issued	051	04/10/2003	EXHAUST EMISSION CONTROL SYSTEM OF AN INTERNAL COMBUSTION ENGINE	TANAKA, TOSHIAKI
10405647	Not Issued	041	04/03/2003	INTERNAL COMBUSTION ENGINE EMISSION CONTROL APPARATUS AND METHOD	TANAKA, TOSHIAKI
10395344	Not Issued	030	03/25/2003	METHOD OF AND COMPUTER PROGRAM PRODUCT FOR MONITORING PERSON'S	TANAKA, TOSHIAKI

				MOVEMENTS	
10395343	Not Issued	020	03/25/2003	METHOD OF AND SYSTEM FOR MONITORING BEHAVIOR OF GROUP OF PERSONS, AND COMPUTER PROGRAM PRODUCT	TANAKA, TOSHIAKI
10363388	Not Issued	030	03/03/2003	NOX ABSORBING AGENT AND ABSORPTION REDUCTION TYPE CATALYST FOR REMOVING NOX	TANAKA, TOSHIAKI
10363035	Not Issued	020	10/16/2003	METHOD AND UNIT FOR THE TRANSPORT OF RICE	TANAKA, TOSHIAKI
10362994	Not Issued	061	03/03/2003	ABSORPTION REDUCTION-TYPE NOX PURIFYING CATALYST	TANAKA, TOSHIAKI
10332217	Not Issued	168	01/03/2003	THERAPEUTIC OR PREVENTIVE MEDICINES FOR MOOD DISORDERS OR ANXIETY DISORDERS	TANAKA, TOSHIAKI
10311016	Not Issued	093	12/12/2002	EXHAUST GAS PURIFICATION APPARATUS	TANAKA, TOSHIAKI
10307311	Not Issued	041	12/02/2002	EXHAUST GAS PURIFICATION DEVICE	TANAKA, TOSHIAKI
10259894	Not Issued	093	09/30/2002	EXHAUST GAS PURIFYING APPARATUS FOR INTERNAL COMBUSTION ENGINE AND CONTROL METHOD THEREOF	TANAKA, TOSHIAKI
10259560	6708486	150	09/30/2002	EXHAUST GAS PURIFICATION DEVICE OF INTERNAL COMBUSTION ENGINE	TANAKA, TOSHIAKI
10252822	Not Issued	030	09/24/2002	OUTPUT TERMINAL MANAGEMENT SERVER AND OUTPUT TERMINAL FUNCTION SETTING METHOD	TANAKA, TOSHIAKI
10243914	Not Issued	161	09/16/2002	CATALYST WARMING APPARATUS OF INTERNAL COMBUSTION ENGINE	TANAKA, TOSHIAKI
10220846	Not Issued	071	09/06/2002	RESIN COMPOSITION, HEAT-RESISTANT RESIN PASTE AND SEMICONDUCTOR DEVICE	TANAKA, TOSHIAKI

				USING THEM AND METHOD FOR MANUFACTURE THEREOF	
10218913	Not Issued	030	08/15/2002	IMAGE REPRODUCING APPARATUS AND IMAGE REPRODUCING METHOD	TANAKA, TOSHIAKI
10162662	Not Issued	030	06/06/2002	APPARATUS AND METHOD OF CONTROLLING PLURAL PROCESSING MODULES	TANAKA, TOSHIAKI
10160872	Not Issued	030	05/31/2002	APPARATUS FOR PREPARING MICROARRAYS	TANAKA, TOSHIAKI
10150942	Not Issued	061	05/21/2002	PC-CARD DATA COMMUNICATION APPARATUS	TANAKA, TOSHIAKI
10106239	6655133	150	03/27/2002	EXHAUST GAS PURIFIER FOR INTERNAL COMBUSTION ENGINE	TANAKA, TOSHIAKI
10088477	6644023	150	03/20/2002	EXHAUST EMISSION CONTROL DEVICE OF INTERNAL COMBUSTION ENGINE	TANAKA, TOSHIAKI
10068003	6618239	150	02/08/2002	KEY SWITCH AND KEYBOARD	TANAKA, TOSHIAKI
10031382	Not Issued	030	03/18/2002	REMEDIES OR PREVENTIVE FOR FREQUENT URINATION OR URINARY INCONTINENCE	TANAKA, TOSHIAKI
10020098	Not Issued	030	12/18/2001	METHOD AND APPARATUS FOR EXTRACTING TEXT INFORMATION FROM MOVING IMAGE	TANAKA, TOSHIAKI
09979064	6644022	150	11/16/2001	EXHAUST GAS PURIFICATION DEVICE OF INTERNAL COMBUSTION ENGINE	TANAKA, TOSHIAKI
09959672	6668548	150	11/02/2001	EXHAUST EMISSION CONTROL DEVICE OF INTERNAL COMBUSTION ENGINE	TANAKA, TOSHIAKI
09817187	6568178	150	03/27/2001	DEVICE FOR PURIFYING THE EXHAUST GAS OF AN INTERNAL COMBUSTION ENGINE	TANAKA, TOSHIAKI
09814806	6510686	150	03/23/2001	EXHAUST GAS PURIFIER	TANAKA,

				FOR INTERNAL COMBUSTION ENGINE AND METHOD FOR PURIFYING EXHAUST GAS	TOSHIAKI
09785480	6697405	150	02/20/2001	VERTICAL CAVITY SURFACE EMITTING LASERS, OPTICAL MODULES AND SYSTEMS	TANAKA, TOSHIAKI
09783562	6731721	150	02/15/2001	TELEPHONE EXCHANGE APPARATUS	TANAKA, TOSHIAKI
09763192	6404068	150	02/20/2001	PASTE COMPOSITION, AND PROTECTIVE FILM AND SEMICONDUCTOR DEVICE BOTH OBTAINED WITH THE SAME	TANAKA, TOSHIAKI
09744577	6611064	150	04/05/2001	SEMICONDUCTOR DEVICE AND METHOD FOR MANUFACTURING THE SAME	TANAKA, TOSHIAKI
08911811	5839275	150	08/15/1997	FUEL INJECTION CONTROL DEVICE FOR A DIRECT INJECTION TYPE ENGINE	TANAKA , TOSHIAKI
08898816	5908993	150	07/23/1997	AN APPRATUS FOR MEASURING TOTAL SURFACE AREA OF A PORTION OF CATALYTIC METAL PARTICLES	TANAKA , TOSHIAKI
08388221	Not Issued	161	02/13/1995	HUMAN CD26 AND METHODS FOR USE	TANAKA , TOSHIAKI
08107907	5316513	150	08/18/1993	ELECTROTHERMAL COLOR-VARYING DEVICE AND TOY UTILIZING THE SAME	TANAKA , TOSHIAKI
08099652	5451724	150	07/30/1993	A TOUCH PANEL FOR DETECTING A COORDINATE OF AN ARBITRARY POSITION WHERE PRESSURE IS APPLIED	TANAKA , TOSHIAKI
08097794	5433074	150	07/26/1993	EXHAUST GAS PURIFICATION DEVICE FOR AN ENGINE	TANAKA , TOSHIAKI
08094799	5402641	150	07/20/1993	EXHAUST GAS PURIFICATION APPARATUS FOR AN INTERNAL COMBUSTION ENGINE	TANAKA , TOSHIAKI

07832211	Not Issued	161	02/06/1992	HUMAN CD26 AND METHODS FOR USE	TANAKA , TOSHIAKI
07540648	5100612	150	06/19/1990	SPHEROIDAL GRAPHITE CAST IRON	TANAKA , TOSHIAKI
07231820	4945199	250	08/25/1988	ELECTRIC DISCHARGE MACHINING METHOD AND DEVICE	TANAKA , TOSHIAKI
06534258	Not Issued	161	09/21/1983	SWIRL CHAMBER FOR INTERNAL COMBUSTION ENGINE	TANAKA , TOSHIAKI
06527775	4530324	150	08/30/1983	FUEL INJECTION PUMP FOR AN INTERNAL COMBUSTION ENGINE	TANAKA , TOSHIAKI
06292913	4498256	150	08/14/1981	ITEM INPUT DEVICE FOR A DATA PROCESSING SYSTEM	TANAKA , TOSHIAKI
06100747	4292938	150	12/06/1979	INTERNAL COMBUSTION ENGINE	TANAKA , TOSHIAKI

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